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## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

REC'D 10 APR 2001

WIPO

PCT

Applicant's or agent's file reference 1946/2D864-WO	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US99/17974	International filing date (day/month/year) 06 AUGUST 1999	Priority date (day/month/year) 07 AUGUST 1998
International Patent Classification (IPC) or national classification and IPC Please See Supplemental Sheet.		
Applicant EMISPHERE TECHNOLOGIES, INC.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets.
- ☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority. (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of 0 sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of report with regard to novelty, inventive step or industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand  06 MARCH 2000	Date of completion of this report  21 MARCH 2001
Name and mailing address of the IPEA/US Commissioner of Patents and Trademarks Box PCT Washington, D.C. 20231	Authorized officer JEFFREY E. RUSSEL <i>Jeffrey E. Russel</i>
Facsimile No. (703) 305-3230	Telephone No. (703) 308-0196

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US99/17974

## I. Basis of the report

1. With regard to the **elements** of the international application:\*☒ the international application as originally filed☒ the description:

pages 1-37 , as originally filed  
pages NONE , filed with the demand  
pages NONE , filed with the letter of \_\_\_\_\_

☒ the claims:

pages 38-41 , as originally filed  
pages NONE , as amended (together with any statement) under Article 19  
pages NONE , filed with the demand  
pages NONE , filed with the letter of \_\_\_\_\_

☒ the drawings:

pages NONE , as originally filed  
pages NONE , filed with the demand  
pages NONE , filed with the letter of \_\_\_\_\_

☒ the sequence listing part of the description:

pages NONE , as originally filed  
pages NONE , filed with the demand  
pages NONE , filed with the letter of \_\_\_\_\_

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.  
These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).☐ the language of publication of the international application (under Rule 48.3(b)).☐ the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:☐ contained in the international application in printed form.☐ filed together with the international application in computer readable form.☐ furnished subsequently to this Authority in written form.☐ furnished subsequently to this Authority in computer readable form.☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.4. ☒ The amendments have resulted in the cancellation of:☒ the description, pages NONE☒ the claims, Nos. NONE☒ the drawings, sheets/fig NONE5. ☐ This report has been drawn as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

\*\*Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. statement**

Novelty (N)	Claims	<u>6, 11, 16, and 17</u>	YES
	Claims	<u>1-5, 7-10, and 12-15</u>	NO
Inventive Step (IS)	Claims	<u>16 and 17</u>	YES
	Claims	<u>1-15</u>	NO
Industrial Applicability (IA)	Claims	<u>1-17</u>	YES
	Claims	<u>NONE</u>	NO

**2. citations and explanations (Rule 70.7)**

Claims 1-5, 7-10, and 12-15 lack novelty under PCT Article 33(2) as being anticipated by Emisphere Tech Inc (WO Patent Application 98/21951). Emisphere Tech Inc teaches compound E702 (see Figure 1), which anticipates Applicant's compound 76. Emisphere Tech Inc uses its compound in combination with a protein, peptide, carbohydrate or lipopolysaccharide antigen in order to induce oral tolerance (see claims 1-18). The compounds can be administered in dosage unit form in combination with pharmaceutically acceptable carriers such as water (see page 8, line 10 - page 9, line 8, and Example 1).

Claims 1-15 lack an inventive step under PCT Article 33(3) as being obvious over Emisphere Tech Inc (WO Patent Application 96/30036). Emisphere Tech Inc teaches compounds IV, XIX, XXVII, XXVIII, XXIX, XXX, XXXI, and XXXV (see pages 4, 6, 7, 8) which differ from Applicant's compound 103 in that the Emisphere Tech Inc's compounds contains four, eight, eleven, nine, seven, twelve, ten, and six carbon atoms, respectively, in their carboxylic acid groups whereas Applicant's compound 103 contains three carbon atoms in its carboxylic acid group. It would have been obvious to one of ordinary skill in the art at the time Applicant's invention was made to form compounds according to Emisphere Tech Inc except having three carbon atoms in its carboxylic acid chain because this compound is homologous to those taught by Emisphere Tech Inc, because a slight change in the number of methylene groups in the chain of a carboxylic acid would not have been expected to affect materially the carboxylic acid chain's properties, and because the resulting compound has only the activity which would have been expected in view of Emisphere Tech Inc, i.e. use in delivery of active agents (see, e.g., the Abstract and the claims). Emisphere Tech Inc also teaches compound XX (see page 6) which differs from Applicant's compounds 85, 86, 88, 92, 97, and 113 in that the Emisphere Tech Inc's compound contains eight carbon atoms in its carboxylic acid group whereas Applicant's compounds 85, 86, 88, 92, 97, and 113 contain ten, six, eleven, four, twelve, and two carbon atoms in their carboxylic acid groups. Further, Emisphere Tech Inc discloses carboxylic acid groups in general having from five to (Continued on Supplemental Sheet.)

**VIII. Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 1-15 are objected to under PCT Rule 66.2(a)(v) as lacking clarity under PCT Article 6 because the claims are indefinite for the following reason(s): Compound 115 is not defined anywhere in the disclosure or claims. The terms "analogs" and "mimetics" in claims 5 and 10 are indefinite because it is not clear what degree of functional and/or structural similarity must be present between a compound and the claimed biologically active agents in order for the compound to be deemed an "analog" or "mimetic" and therefore embraced within the scope of the claims. The terms are not defined either in the disclosure or in the art.

**Supplemental Box**

(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: Boxes I - VIII

Sheet 10

**CLASSIFICATION:**

The International Patent Classification (IPC) and/or the National classification are as listed below:

IPC(7): C07C 233/25, 237/36, 237/40; A61K 47/18 and US Cl.: 424/85.2, 85.4, 141.1, 184.1; 514/2, 3, 11, 12, 21, 56, 354, 423, 448, 562, 563, 773, 784; 546/323; 548/537; 549/72; 562/430, 444, 449, 450, 507, 567

**V. 2. REASONED STATEMENTS - CITATIONS AND EXPLANATIONS (Continued):**

twenty-one carbon atoms in their carboxylic acid groups. It would have been obvious to one of ordinary skill in the art at the time Applicant's invention was made to form compounds according to Emisphere Tech Inc except having ten, six, eleven, four, twelve, and two carbon atoms in its carboxylic acid chain because these compounds are homologous to the one taught by Emisphere Tech Inc, because a slight change in the number of methylene groups in the chain of a carboxylic acid would not have been expected to affect materially the carboxylic acid chain's properties, because Emisphere Tech Inc generically encompasses carboxylic acid groups having ten, six, eleven, and twelve carbon atoms, and because the resulting compounds have only the activity which would have been expected in view of Emisphere Tech Inc, i.e. use in delivery of active agents (see, e.g., the Abstract and the claims). Emisphere Tech Inc also teaches compound XXIV (see page 7) which differs from Applicant's compounds 91, 95, 99, 101, and 111 in that the Emisphere Tech Inc's compound contains eight carbon atoms in its carboxylic acid group whereas Applicant's compounds 91, 95, 99, 101, and 111 contain four, twelve, ten, six, and two carbon atoms in their carboxylic acid groups. Further, Emisphere Tech Inc discloses carboxylic acid groups in general having from five to twenty-one carbon atoms in their carboxylic acid groups. It would have been obvious to one of ordinary skill in the art at the time Applicant's invention was made to form compounds according to Emisphere Tech Inc except having four, twelve, ten, six, and two carbon atoms in its carboxylic acid chain because these compounds are homologous to the one taught by Emisphere Tech Inc, because a slight change in the number of methylene groups in the chain of a carboxylic acid would not have been expected to affect materially the carboxylic acid chain's properties, because Emisphere Tech Inc generically encompasses carboxylic acid groups having twelve, ten, and six carbon atoms, and because the resulting compounds have only the activity which would have been expected in view of Emisphere Tech Inc, i.e. use in delivery of active agents (see, e.g., the Abstract and the claims).

Claims 16 and 17 meet the criteria set out in PCT Article 33(2)-(3), because the prior art does not teach or fairly suggest compound 105 having 2-OH and 5-Cl substituents on the phenyl ring.

Claims 1-17 meet the criteria set out in PCT Article(4), because the claimed invention would have been expected to have industrial applicability in the therapeutic administration of active agents.

## ----- NEW CITATIONS -----

NONE

M-H

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION  
International Bureau

## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification <sup>7</sup> : <b>C07C 233/25, 237/36, 237/40, A61K 47/18</b>		<b>A2</b>	(11) International Publication Number: <b>WO 00/07979</b>
			(43) International Publication Date: 17 February 2000 (17.02.00)
(21) International Application Number: PCT/US99/17974 (22) International Filing Date: 6 August 1999 (06.08.99) (30) Priority Data: 60/095,778      7 August 1998 (07.08.98)      US 60/098,500      31 August 1998 (31.08.98)      US 60/108,366      13 November 1998 (13.11.98)      US 60/119,207      5 February 1999 (05.02.99)      US (71) Applicant (for all designated States except US): EMISPHERE TECHNOLOGIES, INC. [US/US]; 765 Old Saw Mill River Road, Tarrytown, NY 10591 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): GSCHNEIDNER, David [US/US]; 44 Cerretta Street #6, Stamford, CT 06907 (US). LEONE-BAY, Andrea [US/US]; 20 Woodland Way, Ridgefield, CT 06877 (US). WANG, Eric [US/US]; 8205 Featherhill Road #302, Perryhall, MD 21128 (US). ERRIGO, Lynn [US/US]; 85 Birch Street, Port Chester, NY 10573 (US). KRAFT, Kelly [US/US]; 38 1/2 Wolden Road, Ossining, NY 10562 (US). MOYE-SHERMAN, Destardi [US/US]; 102 Chateau Rive, Peekskill, NY 10566 (US). HO, Koc-Kan [MC/US]; 70 Barker Street, Mount Kisco, NY 10549 (US). PRESS, Jeffrey, Bruce [US/US]; Bear Berry Lane, Brew-		ster, NY 10509 (US). WANG, Nai, Fang [CN/US]; Apartment 2C, 41-42 42nd Street, Long Island City, NY 11104 (US). (74) Agents: LESSLER, Jay, P. et al.; Darby & Darby P.C., 805 Third Avenue, New York, NY 10022-7513 (US). (81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published Without international search report and to be republished upon receipt of that report.	
(54) Title: COMPOUNDS AND COMPOSITIONS FOR DELIVERING ACTIVE AGENTS			
(57) Abstract			
Compounds and compositions for the delivery of active agents are provided. Methods of administration and preparation are provided as well.			

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Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

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EE	Estonia	LR	Liberia	SG	Singapore		



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification <sup>7</sup> : <b>C07C 233/25, 237/36, 237/40, A61K 47/18</b>		<b>A3</b>	(11) International Publication Number: <b>WO 00/07979</b>
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(21) International Application Number: PCT/US99/17974		ster, NY 10509 (US). WANG, Nai, Fang [CN/US]; Apartment 2C, 41-42 42nd Street, Long Island City, NY 11104 (US).  (74) Agents: LESSLER, Jay, P. et al.; Darby & Darby P.C., 805 Third Avenue, New York, NY 10022-7513 (US).  (81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>	
(22) International Filing Date: 6 August 1999 (06.08.99)			
(30) Priority Data:			
60/095,778	7 August 1998 (07.08.98) US		
60/098,500	31 August 1998 (31.08.98) US		
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60/119,207	5 February 1999 (05.02.99) US		
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(75) Inventors/Applicants (for US only): GSCHNEIDNER, David [US/US]; 44 Cerretta Street #6, Stamford, CT 06907 (US). LEONE-BAY, Andrea [US/US]; 20 Woodland Way, Ridgefield, CT 06877 (US). WANG, Eric [US/US]; 8205 Featherhill Road #302, Perryhall, MD 21128 (US). ERRIGO, Lynn [US/US]; 85 Birch Street, Port Chester, NY 10573 (US). KRAFT, Kelly [US/US]; 38 1/2 Wolden Road, Ossining, NY 10562 (US). MOYE-SHERMAN, Destardi [US/US]; 102 Chateau Rive, Peekskill, NY 10566 (US). HO, Koc-Kan [MC/US]; 70 Barker Street, Mount Kisco, NY 10549 (US). PRESS, Jeffrey, Bruce [US/US]; Bear Berry Lane, Brew-			
		(88) Date of publication of the international search report: 18 May 2000 (18.05.00)	
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(57) Abstract			
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# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/17974

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C233/25 C07C237/36 C07C237/40 A61K47/18

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 96 12473 A (DEMORIN FRENEL ;HO KOK KAN (US); PATON DUNCAN R (US); EMISPHERE TE) 2 May 1996 (1996-05-02) the whole document	1-15
Y	WO 96 12474 A (EMISPHERE TECH INC ;SANTIAGO NOEMI B (US); HAAS SUSAN (US); LEONE) 2 May 1996 (1996-05-02) the whole document	1-15
Y	WO 96 12475 A (BARANTSEVITCH EVGUENI ;WANG NAI FANG (US); MILSTEIN SAM J (US); EM) 2 May 1996 (1996-05-02) the whole document	1-15
	- / - -	

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

\* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

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- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

7 December 1999

Date of mailing of the international search report

24. 03. 00

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Janus, S

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 99/17974

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 96 21464 A (EMISPHERE TECH INC ;SANTIAGO NOEMI B (US); HAAS SUSAN (US); LEONE) 18 July 1996 (1996-07-18) the whole document ---	1-15
Y	WO 96 30036 A (EMISPHERE TECH INC ;LEONE BAY ANDREA (US); HO KOC KAN (US); SARUBB) 3 October 1996 (1996-10-03) the whole document ---	1-15
Y	WO 97 36480 A (EMISPHERE TECHNOLOGIES INC ;LEONE BAY ANDREA (US)) 9 October 1997 (1997-10-09) the whole document ---	1-15
Y	WO 98 21951 A (HAAS SUSAN ;MILSTEIN SAM J (US); EMISPHERE TECH INC (US)) 28 May 1998 (1998-05-28) the whole document ---	1-15
P,Y	WO 98 34632 A (GSCHNEIDNER DAVID ;WANG ERIC (US); HO KOC KAN (US); LEIPOLD HARRY) 13 August 1998 (1998-08-13) the whole document ---	1-15
L,E	WO 98 49135 A (EMISPHERE TECH INC) 5 November 1998 (1998-11-05) the whole document ---	1-15
P,Y	WO 99 16427 A (LEIPOLD HARRY ;MILSTEIN SAM J (US); EMISPHERE TECH INC (US); LEONE) 8 April 1999 (1999-04-08) the whole document -----	1-15

# INTERNATIONAL SEARCH REPORT

II. International application No.

PCT/US 99/17974

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
  
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

See additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
  
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
  
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
  
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1 - 15 (in part)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 99/17974

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

1. Claims: 1-15 (in part)

Compounds 1-25, 73-75, 79-81, 104 and 133, and their use as targeting agents.

2. Claims: 1-15 (in part)

Compounds 26-35, 83 and 119-132, and their use as targeting agents.

3. Claims: 1-15 (in part), 16 and 17

Compounds 35-58, 65-68, 85-103 and 105-118, and their use as targeting agents.

4. Claims: 1-15 (in part)

Compound 59 and its use as targeting agent.

5. Claims: 1-15 (in part)

Compound 60, 61, 76 and 77, and their use as targeting agents.

6. Claims: 1-15 (in part)

Compounds 62 and 63 and their use as targeting agent.

7. Claims: 1-15 (in part)

Compound 64 and its use as targeting agent.

8. Claims: 1-15 (in part)

Compounds 69 and 70 and their use as targeting agents.

9. Claims: 1-15 (in part)

Compound 71 and its use as targeting agent.

10. Claims: 1-15 (in part)

Compound 72 and its use as targeting agent.

11. Claims: 1-15 (in part)

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Compounds 78 and 134 and their use as targeting agents.

12. Claims: 1-15 (in part)

Compound 82 and its use as targeting agent.

13. Claims: 1-15 (in part)

Compounds 84 and 135 and their use as targeting agents.

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